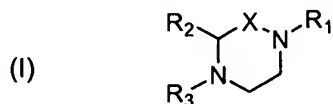


CLAIMS

What is claimed is:

- 5 1. A compound having the structure:



or a stereoisomer or pharmaceutically acceptable salt thereof,

10 wherein

R₁ is -L₁-J or, if X is CH₂, is H or -L₁-J;

R₂ is (CH₂)_y-W or, if X is CH₂, is H or -L₁-J, on the proviso that not R₁ and R₂ are not both H;

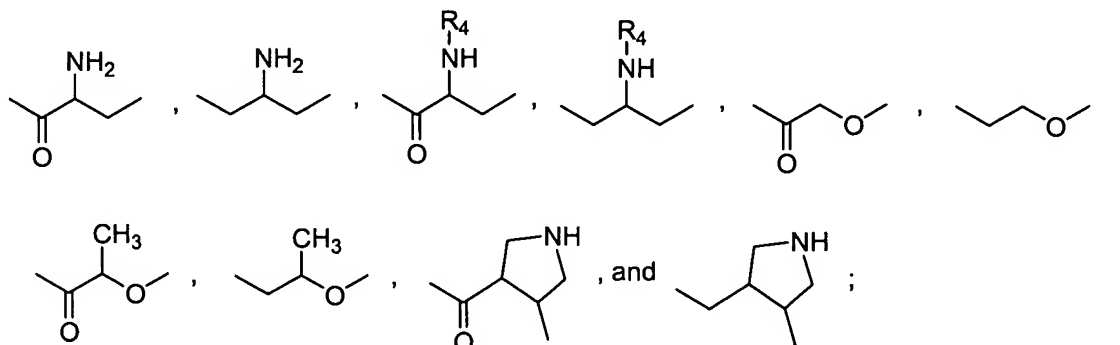
R₃ is -L₂-Q;

15 L₁ is a linker selected from the group consisting of -(CH₂)_y-, -O-(CH₂)_y-, -O-, -NH-(CH₂)_y-, -(C=O)(CH₂)_y-, -(C=O)-O-(CH₂)_y-, and -CH₂(C=O)NH;-;

J is a ring structure selected from the group consisting of substituted or unsubstituted aromatic carbocyclic rings, substituted or unsubstituted non-aromatic carbocyclic rings, substituted or unsubstituted aromatic fused carbobicyclic ring groups, substituted or unsubstituted aromatic carbocyclic ring groups wherein the rings are joined by a bond or -O-, and substituted or unsubstituted aromatic fused heterobicyclic ring groups; wherein in each instance the rings comprise 5 or 6 ring atoms;

W is a heteroatom unit with at least one cationic center, hydrogen bond donor or hydrogen bond acceptor wherein at least one atom is N;

25 L₂ is a linker selected from the group consisting of



Q is an aromatic carbocyclic ring selected from the group consisting of phenyl, substituted phenyl, naphthyl and substituted naphthyl;

R_4 is H, $-R_5$ or $-R_5-R_6$;

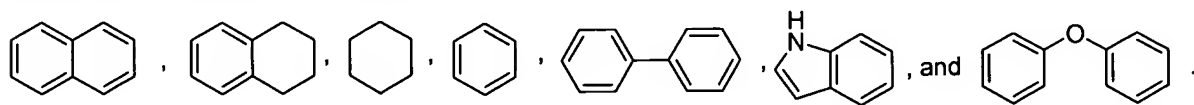
5 R_5 is an amino acid residue or an amine capping group, provided that if R_6 is present, R_5 is an amino acid residue;

R_6 is H or an amine capping group;

X is CH_2 or $C=O$; and

y is at each occurrence independently from 1 to 6.

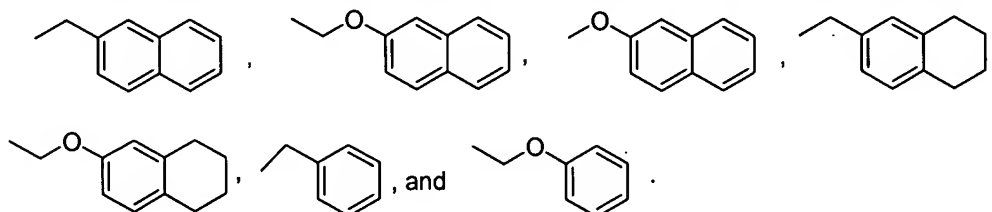
10 2. The compound of claim 1 wherein J is a substituted or unsubstituted ring structure selected from the group consisting of



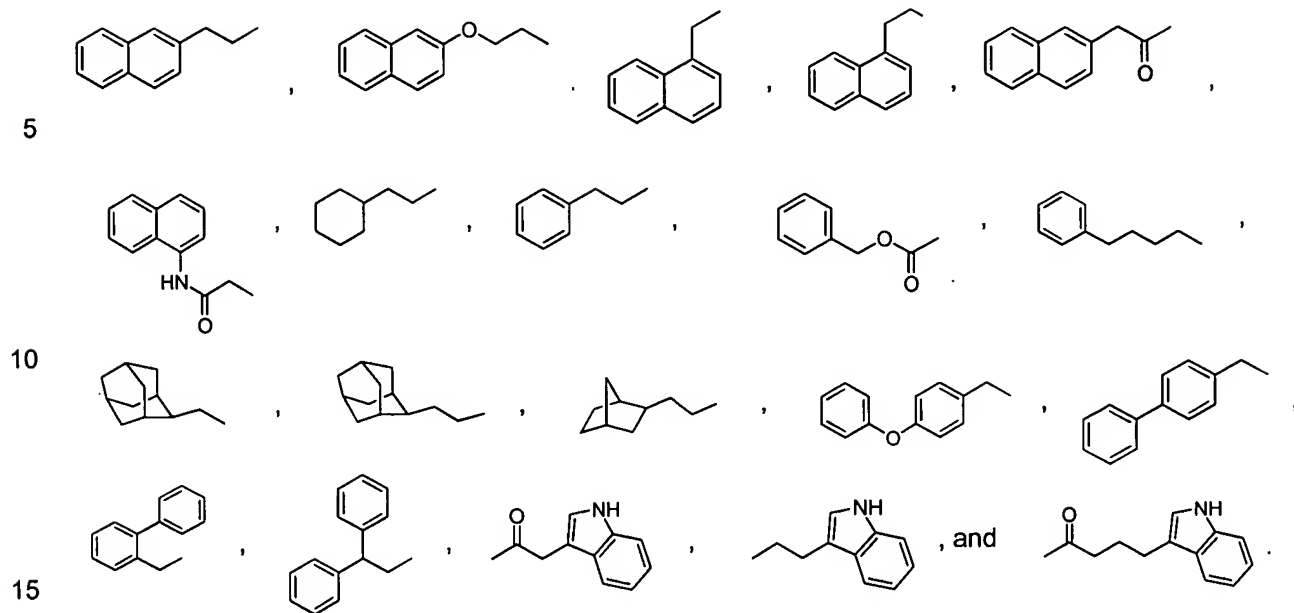
3. The compound of claim 1 wherein at least one ring comprising J is functionalized with one or more halogen, alkyl or aryl groups.

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4. The compound of claim 1 wherein R_1 is selected from the group consisting of

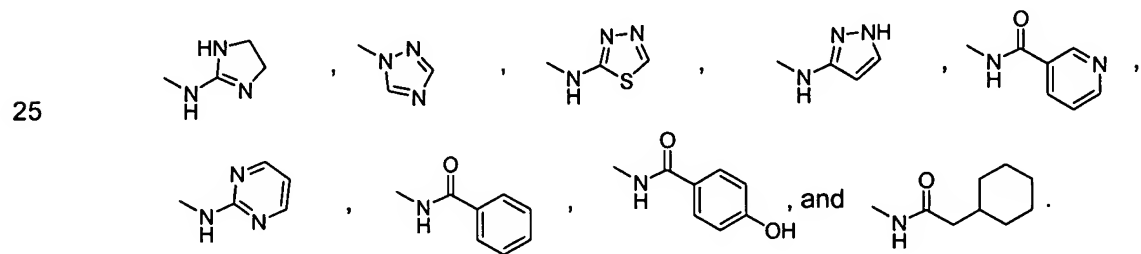


5. The compound of claim 1 wherein R₁ is selected from the group consisting of

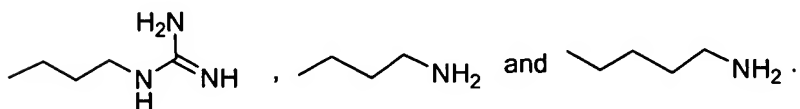


6. The compound of claim 1 wherein W comprises a cationic center and is selected from the group consisting of NH_2 and $\text{NH}(\text{C}=\text{NH})\text{NH}_2$.

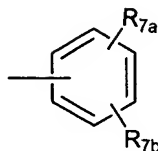
20 7. The compound of claim 1 wherein W is selected from the group consisting of
-NHCOCH₃, -CONHCH₃, -NH(C=NH)NHMe, -NH(C=NH)NHEt, -NH(C=NH)NHPr, -NH(C=NH)NHPr-I,
-NH(C=NH)NH₂, -NH(C=O)OCH₃, -NH(C=O)CH₃, NH(C=O)NH₂, -NH(C=O)NHCH₃,



30 8. The compound of claim 1 wherein R₂ is selected from the group consisting of



9. The compound of claim 1 where Q is



wherein R_{7a} and R_{7b} are optional ring substituents, and when one or both are present, are the same or different and independently hydroxyl, halogen, alkyl, or aryl groups attached directly or through an ether linkage.

10. The compound of claim 9 wherein the alkyl group is -CH₃ or -OCH₃.

11. The compound of claim 1 wherein R₅ or R₆ is an amine capping group selected from the group consisting of hexyl, hexanoyl, heptanoyl, acetyl, phenylacetyl, cyclohexylacetyl, naphthylacetyl, cinnamoyl, benzyl, benzoyl, cinnamoyl, 12-Ado, 7'-amino heptanoyl, 6-Ahx, Amc and 8-Aoc.

12. The compound of claim 1 wherein R₃ is a D-amino acid with an aromatic carbocyclic ring selected from the group consisting of phenyl, substituted phenyl, naphthyl and substituted naphthyl.

13. The compound of claim 1 wherein R₃ is a D-amino acid with an amine capping group and an aromatic carbocyclic ring selected from the group consisting of phenyl, substituted phenyl, naphthyl and substituted naphthyl.

14. The compound of claim 1 wherein R₃ is a dipeptide consisting of a D-amino acid including an aromatic carbocyclic ring selected from the group consisting of phenyl, substituted phenyl, naphthyl and substituted naphthyl and a second amino acid residue, wherein the D-amino acid is bonded to the ring nitrogen.

15. The compound of claim 1 wherein R₃ is a dipeptide consisting of a D-amino acid including an aromatic carbocyclic ring selected from the group consisting of phenyl, substituted phenyl, naphthyl and substituted naphthyl and a second amino acid residue with an amine capping group.

16. The compound of claim 1 wherein R₃ comprises a D-amino acid is selected from the group consisting of Phe, Phe(2-Cl), Phe(4-Cl), Phe(2,4-diCl), Phe(2,4-diF), Phe(3,4-diCl), Phe(4-NO₂),

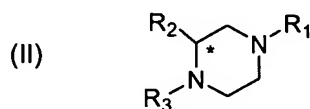
Phe(4-Me), Phe(4-Phenyl), HPhe, pF-Phe, Phe(4-Br), Phe(4-CF₃), Phe(3,4-diF), Phe(4-I), Phe(2-Cl, 4-Me), Phe(2-Me, 4-Cl), Phe(2-F, 4-Cl), Phe(2,4-diMe), Phe(2-Cl, 4-CF₃), and Phe(3,4-di-OMe).

17. The compound of claim 1 wherein R₃ comprises a D-amino acid is selected from the group consisting of Pgl, Trp, Nal 1, Nal 2, Bip, Dip, Bpa, Ser(Bzl), Ser(2-Naphthyl), Ser(Phenyl), Ser(4-Cl-Phenyl), Ser(2-Cl-Phenyl), Ser(p-Cl-Phenyl), Lys(Z), Lys(Z-2'Br), Lys(Bz), Thr(Bzl), Tic, Tiq, Cys(Bzl), Tyr(2,6-DiCl-Bzl) and Tyr(Bzl).

18. The compound of claim 1 wherein R₃ comprises a second amino acid residue selected from the group of L-amino acids consisting of Abu, 2-Abz, 3-Abz, 4-Abz, Achc, Acpc, Aib, Amb, Arg(Tos), Asp(anilino), Asp(3-Cl-anilino), Asp(3,5-diCl-anilino), 11-Aun, AVA, Beta-hHyp(Bzl), Cha, Chg, Cmpi, Disc, Dpr(beta-Ala), GAA, GBzA, B-Gpa, GVA(Cl), His, hSer, Ser(Bzl), Tic, hHyp, Hyp(Bzl), Inp, 2-Naphthylacetyl, (Nlys)Gly, Ochx, Pip, 4-phenylPro, 5-phenylPro, Pyr, Sar, Tle, Tiq, Atc, Igl, Hyp(O-2-Naphthyl), Hyp(O-Phenyl), 2-Aic, Idc, 1-Aic, Beta-homoSer(Bzl), Ser(O-2-Naphthyl), Ser(O-Phenyl), Ser(O-4-Cl-Phenyl), Ser(O-2-Cl-Phenyl), Thr(Bzl), Tic, Beta-homoThr(Bzl), Thr(O-2-Naphthyl), Thr(O-Phenyl), Thr(O-4-Cl-Phenyl) and Thr(O-2-Cl-Phenyl), Nle, Leu, Ile, Val and Beta-Ala.

19. The compound of claim 1 wherein R₃ comprises an amine capping group selected from the group consisting of hexyl, hexanoyl, heptanoyl, acetyl, phenylacetyl, cyclohexylacetyl, naphthylacetyl, cinnamoyl, benzyl, benzoyl, 7'-amino heptanoyl, 12-Ado, 6-Ahx, Amc, and 8-Aoc.

20. A compound having the structure:



or a stereoisomer or pharmaceutically acceptable salt thereof,

wherein

R₁ is -L₁-J;

R₂ is (CH₂)_y-W;

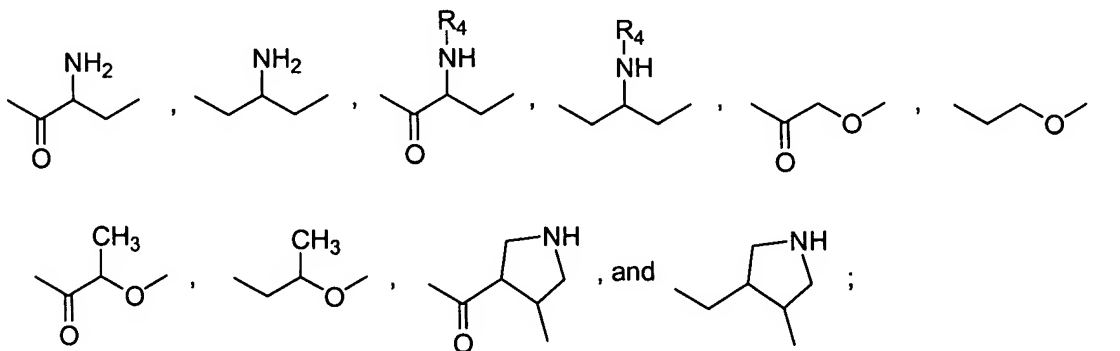
R₃ is -L₂-Q;

L₁ is a linker selected from the group consisting of -(CH₂)_y-, -O-(CH₂)_y-, -O-, -NH-(CH₂)_y-, -(C=O)(CH₂)_y-, -(C=O)-O-(CH₂)_y-, and -CH₂(C=O)NH-;

J is a ring structure selected from the group consisting of substituted or unsubstituted aromatic carbocyclic rings, substituted or unsubstituted non-aromatic carbocyclic rings, substituted or unsubstituted aromatic fused carbobicyclic ring groups, substituted or unsubstituted aromatic carbocyclic ring groups wherein the rings are joined by a bond or -O-, and substituted or unsubstituted aromatic fused heterobicyclic ring groups; wherein in each instance the rings comprise 5 or 6 ring atoms;

W is a heteroatom unit with at least one cationic center, hydrogen bond donor or hydrogen bond acceptor wherein at least one atom is N;

L₂ is a linker selected from the group consisting of



Q is an aromatic carbocyclic ring selected from the group consisting of phenyl, substituted phenyl, naphthyl and substituted naphthyl;

R₄ is H, -R₅ or -R₅-R₆;

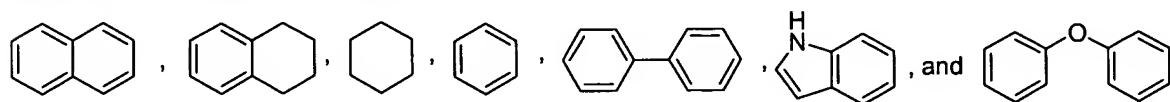
R₅ is an amino acid residue or an amine capping group, provided that if R₆ is present, R₅ is an amino acid residue;

R₆ is H or an amine capping group; and

y is at each occurrence independently from 1 to 6;

wherein the carbon atom marked with an asterisk can have any stereochemical configuration.

21. The compound of claim 20 wherein J is a substituted or unsubstituted ring structure selected from the group consisting of



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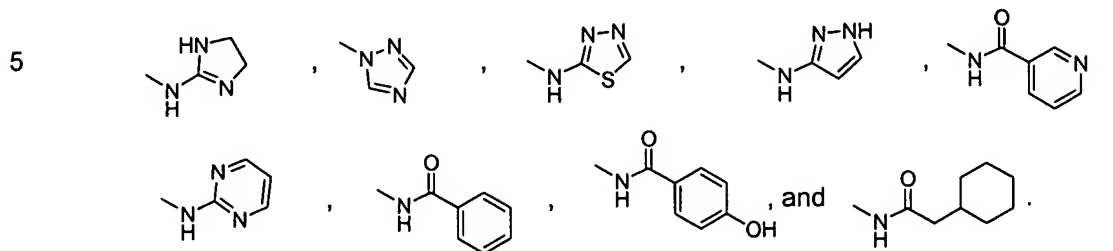
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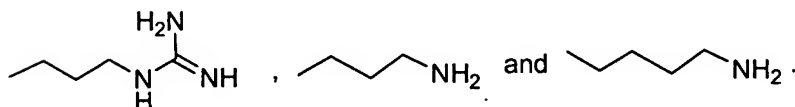
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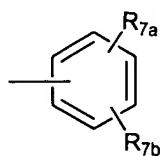
26. The compound of claim 20 wherein W is selected from the group consisting of -NHCOCH₃, -CONHCH₃, -NH(C=NH)NHMe, -NH(C=NH)NHEt, -NH(C=NH)NHPr, -NH(C=NH)NHPr-I, -NH(C=NH)NH₂, -NH(C=O)OCH₃, -NH(C=O)CH₃, NH(C=O)NH₂, -NH(C=O)NHCH₃,



27. The compound of claim 20 wherein R₂ is selected from the group consisting of



28. The compound of claim 20 where Q is



15 wherein R_{7a} and R_{7b} are optional ring substituents, and when one or both are present, are the same or different and independently hydroxyl, halogen, alkyl, or aryl groups attached directly or through an ether linkage.

29. The compound of claim 28 wherein the alkyl group is -CH₃ or -OCH₃.

20 30. The compound of claim 20 wherein R₅ or R₆ is an amine capping group selected from the group consisting of hexyl, hexanoyl, heptanoyl, acetyl, phenylacetyl, cyclohexylacetyl, naphthylacetyl, cinnamoyl, benzyl, benzoyl, cinnamoyl, 12-Ado, 7'-amino heptanoyl, 6-Ahx, Amc and 8-Aoc.

25 31. The compound of claim 20 wherein R₃ is a D-amino acid with an aromatic carbocyclic ring selected from the group consisting of phenyl, substituted phenyl, naphthyl and substituted naphthyl.

32. The compound of claim 20 wherein R₃ is a D-amino acid with an amine capping group and an aromatic carbocyclic ring selected from the group consisting of phenyl, substituted phenyl, naphthyl and substituted naphthyl.

5 33. The compound of claim 20 wherein R₃ is a dipeptide consisting of a D-amino acid including an aromatic carbocyclic ring selected from the group consisting of phenyl, substituted phenyl, naphthyl and substituted naphthyl and a second amino acid residue, wherein the D-amino acid is bonded to the ring nitrogen.

10 34. The compound of claim 20 wherein R₃ is a dipeptide consisting of a D-amino acid including an aromatic carbocyclic ring selected from the group consisting of phenyl, substituted phenyl, naphthyl and substituted naphthyl and a second amino acid residue with an amine capping group.

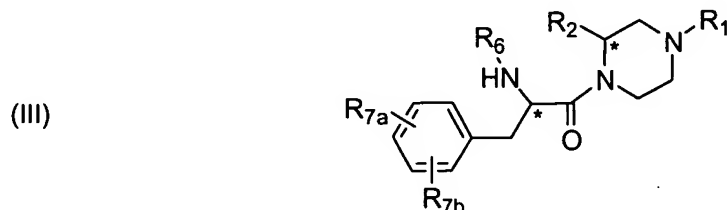
15 35. The compound of claim 20 wherein R₃ comprises a D-amino acid is selected from the group consisting of Phe, Phe(2-Cl), Phe(4-Cl), Phe(2,4-diCl), Phe(2,4-diF), Phe(3,4-diCl), Phe(4-NO₂), Phe(4-Me), Phe(4-Phenyl), HPhe, pF-Phe, Phe(4-Br), Phe(4-CF₃), Phe(3,4-diF), Phe(4-I), Phe(2-Cl, 4-Me), Phe(2-Me, 4-Cl), Phe(2-F, 4-Cl), Phe(2,4-diMe), Phe(2-Cl, 4-CF₃), and Phe(3,4-di-OMe).

20 36. The compound of claim 20 wherein R₃ comprises a D-amino acid is selected from the group consisting of Pgl, Trp, Nal 1, Nal 2, Bip, Dip, Bpa, Ser(Bzl), Ser(2-Naphthyl), Ser(Phenyl), Ser(4-Cl-Phenyl), Ser(2-Cl-Phenyl), Ser(p-Cl-Phenyl), Lys(Z), Lys(Z-2'Br), Lys(Bz), Thr(Bzl), Tic, Tiq, Cys(Bzl), Tyr(2,6-DiCl-Bzl) and Tyr(Bzl).

25 37. The compound of claim 20 wherein R₃ comprises a second amino acid residue selected from the group of L-amino acids consisting of Abu, 2-Abz, 3-Abz, 4-Abz, Achc, Acpc, Aib, Amb, Arg(Tos), Asp(anilino), Asp(3-Cl-anilino), Asp(3,5-diCl-anilino), 11-Aun, AVA, Beta-hHyp(Bzl), Cha, Chg, Cmpi, Disc, Dpr(beta-Ala), GAA, GBzA, B-Gpa, GVA(Cl), His, hSer, Ser(Bzl), Tic, hHyp, Hyp(Bzl), Inp, 2-Naphthylacetyl, (Nlys)Gly, OcHx, Pip, 4-phenylPro, 5-phenylPro, Pyr, Sar, Tle, Tiq, Atc, Igl, Hyp(O-2-Naphthyl), Hyp(O-Phenyl), 2-Aic, Idc, 1-Aic, Beta-homoSer(Bzl), Ser(O-2-Naphthyl),
30 Ser(O-Phenyl), Ser(O-4-Cl-Phenyl), Ser(O-2-Cl-Phenyl), Thr(Bzl), Tic, Beta-homoThr(Bzl), Thr(O-2-Naphthyl), Thr(O-Phenyl), Thr(O-4-Cl-Phenyl) and Thr(O-2-Cl-Phenyl), Nle, Leu, Ile, Val and Beta-Ala.

38. The compound of claim 20 wherein R_3 comprises an amine capping group selected from the group consisting of hexyl, hexanoyl, heptanoyl, acetyl, phenylacetyl, cyclohexylacetyl, naphthylacetyl, cinnamoyl, benzyl, benzoyl, 7'-amino heptanoyl, 12-Ado, 6-Ahx, Amc, and 8-Aoc.

39. A compound having the structure:



or a stereoisomer or pharmaceutically acceptable salt thereof,

wherein

R_1 is $-L_1-J$;

R_2 is $(CH_2)_y-W$;

R_6 is H or an amine capping group;

R_{7a} and R_{7b} are optional ring substituents, and when one or both are present, are the same or different and independently hydroxyl, halogen, alkyl, or aryl groups attached directly or through an ether linkage;

L_1 is a linker selected from the group consisting of $-(CH_2)_y-$, $-O-(CH_2)_y-$, $-O-$, $-NH-(CH_2)_y-$, $-(C=O)(CH_2)_y-$, $-(C=O)-O-(CH_2)_y-$, and $-CH_2(C=O)NH-$;

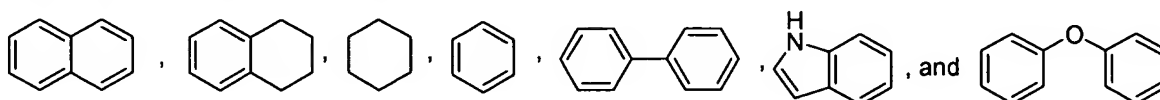
J is a ring structure selected from the group consisting of substituted or unsubstituted aromatic carbocyclic rings, substituted or unsubstituted non-aromatic carbocyclic rings, substituted or unsubstituted aromatic fused carbobicyclic ring groups, substituted or unsubstituted aromatic carbocyclic ring groups wherein the rings are joined by a bond or $-O-$, and substituted or unsubstituted aromatic fused heterobicyclic ring groups; wherein in each instance the rings comprise 5 or 6 ring atoms;

W is a heteroatom unit with at least one cationic center, hydrogen bond donor or hydrogen bond acceptor wherein at least one atom is N; and

y is at each occurrence independently from 1 to 6;

wherein the carbon atoms marked with an asterisk can have any stereochemical configuration.

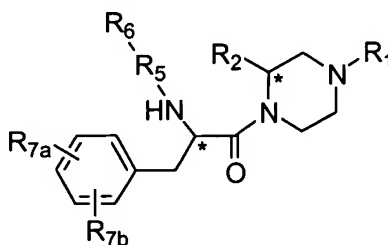
40. The compound of claim 39 wherein J is a substituted or unsubstituted ring structure selected from the group consisting of



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CCCCN=C[NH2+], CCCCN and CCCC[NH2+]

48. A compound having the structure:



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R_1 is $-L_1-J$;

R₅ is an amino acid residue;

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are the same or different and independently hydroxyl, halogen, alkyl, or aryl groups attached directly or through an ether linkage;

L_1 is a linker selected from the group consisting of $-(CH_2)_y-$, $-O-(CH_2)_y-$, $-O-$, $-NH-(CH_2)_y-$, $-(C=O)(CH_2)_y-$, $-(C=O)-O-(CH_2)_y-$, and $-CH_2(C=O)NH-$;

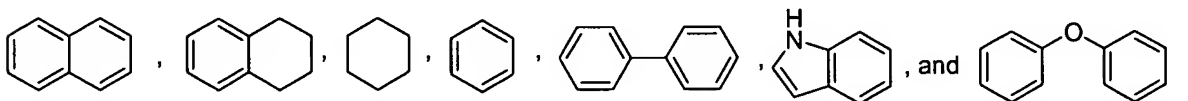
J is a ring structure selected from the group consisting of substituted or unsubstituted aromatic carbocyclic rings, substituted or unsubstituted non-aromatic carbocyclic rings, substituted or unsubstituted aromatic fused carbobicyclic ring groups, substituted or unsubstituted aromatic carbocyclic ring groups wherein the rings are joined by a bond or $-O-$, and substituted or unsubstituted aromatic fused heterobicyclic ring groups; wherein in each instance the rings comprise 5 or 6 ring atoms;

W is a heteroatom unit with at least one cationic center, hydrogen bond donor or hydrogen bond acceptor wherein at least one atom is N; and

y is at each occurrence independently from 1 to 6;

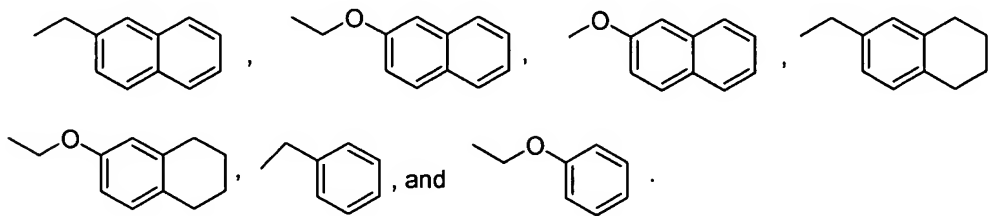
wherein the carbon atoms marked with an asterisk can have any stereochemical configuration.

49. The compound of claim 48 wherein J is a substituted or unsubstituted ring structure selected from the group consisting of

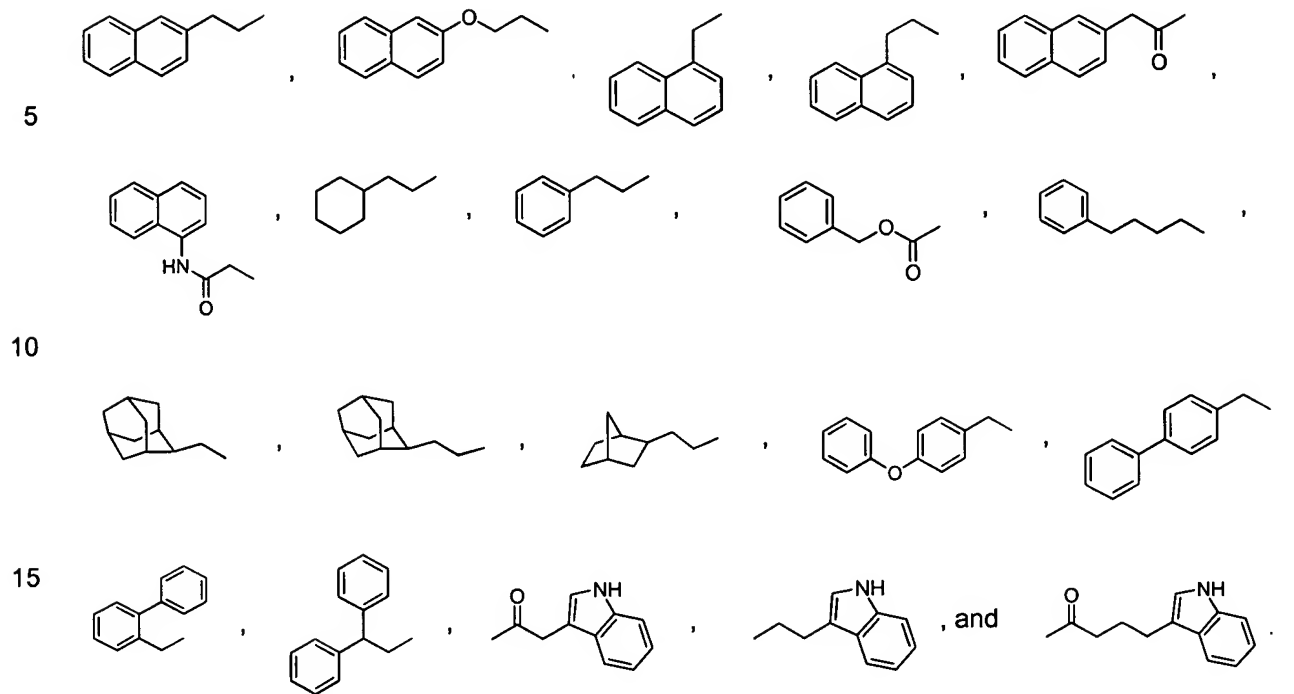


50. The compound of claim 48 wherein at least one ring comprising J is functionalized with one or more halogen, alkyl or aryl groups.

51. The compound of claim 48 wherein R_1 is selected from the group consisting of

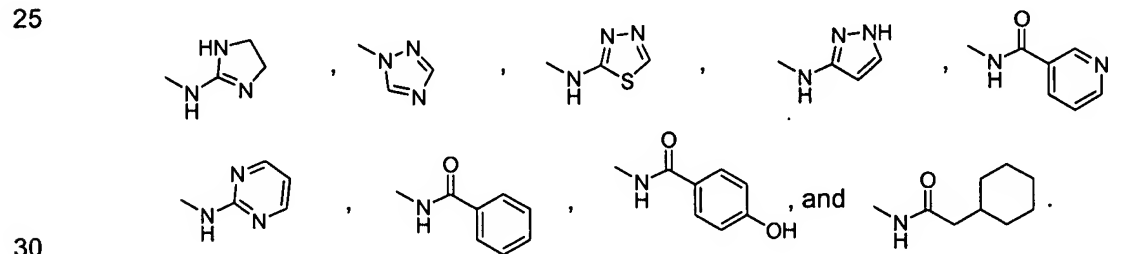


52. The compound of claim 48 wherein R₁ is selected from the group consisting of

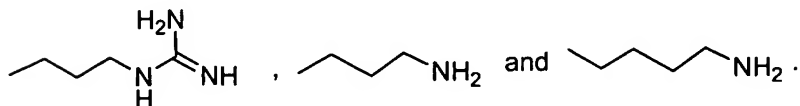


53. The compound of claim 48 wherein W comprises a cationic center and is selected from the group consisting of NH_2 and $\text{NH}(\text{C}=\text{NH})\text{NH}_2$.

54. The compound of claim 48 wherein W is selected from the group consisting of -NHCOCH₃, -CONHCH₃, -NH(C=NH)NHMe, -NH(C=NH)NH₄Et, -NH(C=NH)NHPr, -NH(C=NH)NHPr-I, -NH(C=NH)NH₂, -NH(C=O)OCH₃, -NH(C=O)CH₃, NH(C=O)NH₂, -NH(C=O)NHCH₃,



55. The compound of claim 48 wherein R₂ is selected from the group consisting of



56. The compound of claim 48 wherein R₆ is an amine capping group selected from the group consisting of hexyl, hexanoyl, heptanoyl, acetyl, phenylacetyl, cyclohexylacetyl, naphthylacetyl, cinnamoyl, benzyl, benzoyl, cinnamoyl, 12-Ado, 7'-amino heptanoyl, 6-Ahx, Amc and 8-Aoc.

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57. The compound of claim 48 wherein R₅ is a second amino acid residue selected from the group of L-amino acids consisting of Abu, 2-Abz, 3-Abz, 4-Abz, Achc, Acpc, Aib, Amb, Arg(Tos), Asp(anilino), Asp(3-Cl-anilino), Asp(3,5-diCl-anilino), 11-Aun, AVA, Beta-hHyp(Bzl), Cha, Chg, Cmpi, Disc, Dpr(beta-Ala), GAA, GBzA, B-Gpa, GVA(Cl), His, hSer, Ser(Bzl), Tic, hHyp, Hyp(Bzl), Inp, 2-Naphthylacetyl, (Nlys)Gly, Ochx, Pip, 4-phenylPro, 5-phenylPro, Pyr, Sar, Tle, Tiq, Atc, Igl, Hyp(O-2-Naphthyl), Hyp(O-Phenyl), 2-Aic, Idc, 1-Aic, Beta-homoSer(Bzl), Ser(O-2-Naphthyl), Ser(O-Phenyl), Ser(O-4-Cl-Phenyl), Ser(O-2-Cl-Phenyl), Thr(Bzl), Tic, Beta-homoThr(Bzl), Thr(O-2-Naphthyl), Thr(O-Phenyl), Thr(O-4-Cl-Phenyl) and Thr(O-2-Cl-Phenyl), Nle, Leu, Ile, Val and Beta-Ala.

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58. A composition comprising a compound of any of any of the foregoing structure in combination with a pharmaceutically acceptable carrier.

59. A method for altering a disorder or condition associated with the activity of a melanocortin receptor, comprising administering to a patient a therapeutically effective amount of the composition of claim 58.

20

60. The method of claim 59 wherein the disorder or condition is an eating disorder.

25

61. The method of claim 60 wherein the eating disorder is cachexia.

62. The method of claim 60 wherein the eating disorder is obesity and associated impairment of energy homeostasis.

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63. The method of claim 59 wherein the disorder or condition is sexual dysfunction.

64. The method of claim 63 wherein the sexual dysfunction is erectile dysfunction.

65. The method of claim 63 wherein the sexual dysfunction is female sexual dysfunction.

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